

L10 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1989:135731 CAPLUS
 DOCUMENT NUMBER: 110:135731
 TITLE: Preparation and testing of peptidylaminodiols as renin
 inhibitors
 INVENTOR(S): Fung, Anthony K. L.; Kempf, Dale John; Luly, Jay
 Richard; Rosenberg, Saul Howard; Plattner, Jacob John
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIXXD2
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 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------------|-----------------|----------|
| WO 8805050 | A1 | 19880714 | WO 1987-US3376 | 19871222 |
| W: AU, DK, JP, KR | | | | |
| RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE | | | | |
| IL 97441 | A1 | 19920906 | IL 1987-97441 | 19870112 |
| US 5032577 | A | 19910716 | US 1987-132356 | 19871218 |
| AU 8811580 | A1 | 19880727 | AU 1988-11580 | 19871222 |
| AU 609774 | B2 | 19910509 | | |
| EP 295294 | A1 | 19881221 | EP 1988-900918 | 19871222 |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| JP 01502514 | T2 | 19890831 | JP 1988-501082 | 19871222 |
| IL 84945 | A1 | 19920216 | IL 1987-84945 | 19871225 |
| US 4845079 | A | 19890704 | US 1988-217106 | 19880711 |
| DK 8804834 | A | 19880830 | DK 1988-4834 | 19880830 |
| CA 1307289 | A2 | 19920908 | CA 1991-615975 | 19910108 |
| AU 9170281 | A1 | 19910418 | AU 1991-70281 | 19910205 |
| AU 638093 | B2 | 19930617 | | |
| US 5091575 | A | 19920225 | US 1991-713644 | 19910610 |
| US 5214129 | A | 19930525 | US 1991-793773 | 19911118 |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 1986-943567 | 19861231 | |
| | | US 1987-132356 | 19871218 | |
| | | US 1985-693951 | 19850123 | |
| | | US 1986-818714 | 19860116 | |
| | | US 1986-818715 | 19860116 | |
| | | US 1986-818734 | 19860116 | |
| | | US 1986-895009 | 19860807 | |
| | | IL 1987-81234 | 19870112 | |
| | | CA 1987-527514 | 19870116 | |
| | | WO 1987-US3376 | 19871222 | |
| | | US 1988-217106 | 19880711 | |
| | | US 1989-327467 | 19890322 | |
| | | US 1991-713644 | 19910610 | |

OTHER SOURCE(S): MARPAT 110:135731

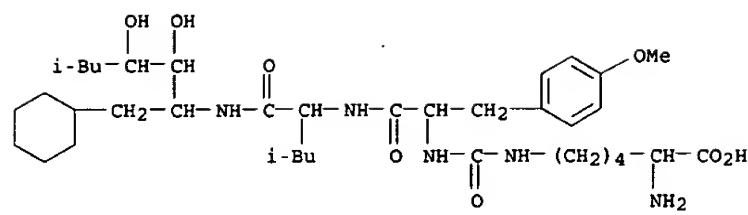
AB ACHR1-W-U-CHR3CONHCHR4CR5R8CR6R7R9 [I; A = (un)substituted amino, acylamino, etc.; W = CO, CHOH; U = CH2, NR2; R1 = alkyl, cycloalkylmethyl, (substituted) PhCH2, anilino, thiophenoxy, etc.; R2, R7 = H, alkyl; R3 = alkyl, alkenyl, alkoxyalkoxyalkyl, PhCH2, heterocyclylmethyl; R4 = alkyl, cycloalkylmethyl, PhCH2; R5 = H, CH2:CH, HCO, HOCH2; R6 = H, alkyl, CH2:CH, arylalkyl; R8, R9 = OH, NH2], useful as renin inhibitors, were prep'd. 2S-tert-Butyloxycarbonylamino-1-cyclohexylbut-3-ene (prepn. given) was deprotected with HCl/MeOH and coupled with BOC-Phe-Ala-OH (BOC = CO2CMe3), using iso-Bu chloroformate and N-methylmorpholine in THF/DMF at -13.degree.. the product was treated with OsO4/N-methylmorpholine N-oxide in THF to give 3S-N-(tert-butoxycarbonylphenylalanylalanylamino)-4-cyclohexyl-1,2(R,S)-dihydroxybutane. I inhibited renin with IC50's of 0.3-4000 nM.

IT 119609-96-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. of, as renin inhibitor)

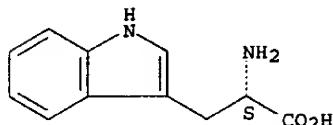
RN 119609-96-0 CAPLUS

CN L-Leucinamide, N-{{[(5-amino-5-carboxypentyl)amino]carbonyl}-O-methyl-L-tyrosyl-N-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-, [1(S),2{1S-(1R*,2S*,3R*)}]- (9CI) (CA INDEX NAME)



L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN L-Tryptophan (9CI)
MF C11 H12 N2 O2
CI COM

Absolute stereochemistry.

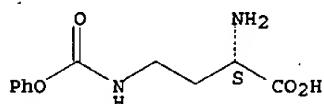


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):14

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Butanoic acid, 2-amino-4-[(phenoxy carbonyl)amino]-, (S)- (9CI)
MF C11 H14 N2 O4

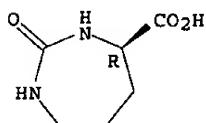
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1H-1,3-Diazepine-4-carboxylic acid, hexahydro-2-oxo-, (R)- (9CI)
MF C6 H10 N2 O3

Absolute stereochemistry.

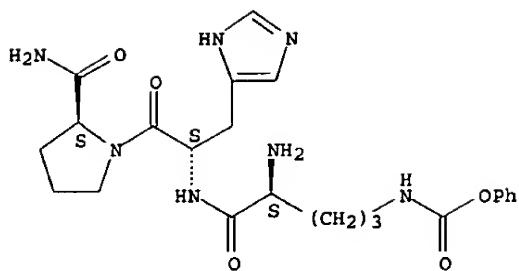


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

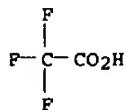
L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN L-Prolinamide, N5-(phenoxy carbonyl)-L-ornithyl-L-histidyl-,
bis(trifluoroacetate) (9CI)
MF C23 H31 N7 O5 . 2 C2 H F3 O2

CM 1

Absolute stereochemistry.

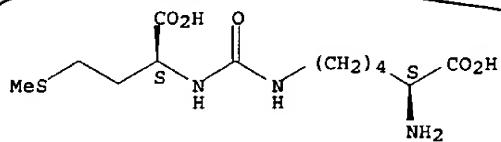


CM 2



L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
 IN L-Lysine, N6-[[1-carboxy-3-(methylthio)propyl]amino]carbonyl]-, (S)- (9CI)
 MF C12 H23 N3 O5 S

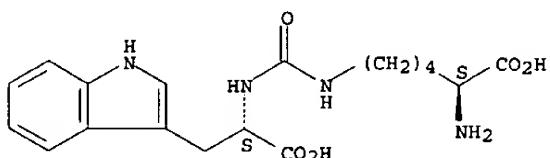
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
 IN L-Tryptophan, N-[(5-amino-5-carboxypentyl)amino]carbonyl]-, (S)- (9CI)
 MF C18 H24 N4 O5

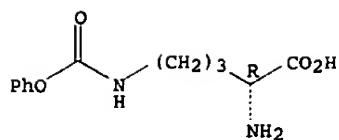
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
 IN D-Ornithine, N5-(phenoxy carbonyl)- (9CI)
 MF C12 H16 N2 O4

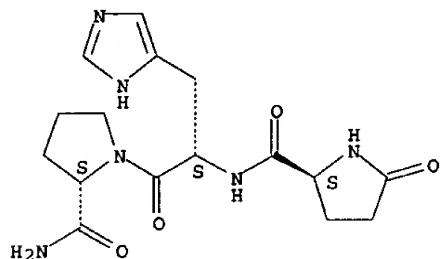
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
 IN L-Prolinamide, 5-oxo-L-prolyl-L-histidyl- (9CI)
 MF C16 H22 N6 O4
 CI COM

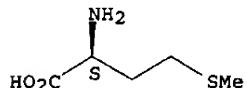
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
 IN L-Methionine (9CI)
 MF C5 H11 N O2 S
 CI COM

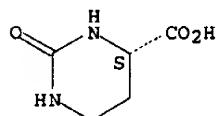
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
 IN 4-Pyrimidinecarboxylic acid, hexahydro-2-oxo-, (4S)- (9CI)
 MF C5 H8 N2 O3

Absolute stereochemistry.

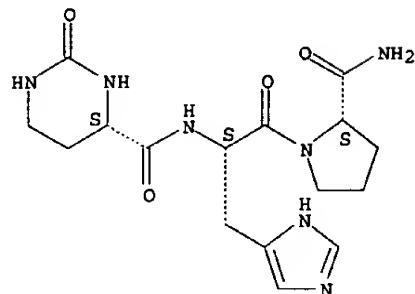


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
 IN L-Prolinamide, N-[(hexahydro-2-oxo-4-pyrimidinyl)carbonyl]-L-histidyl- (9CI)

MF C16 H23 N7 O4

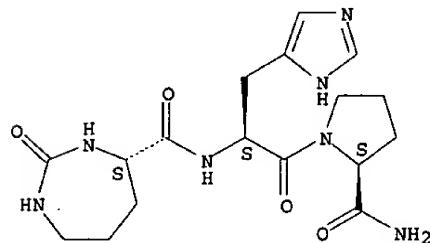
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN L-Prolinamide, N-[(hexahydro-2-oxo-1H-1,3-diazepin-4-yl)carbonyl]-L-histidyl-, (S)- (9CI)
MF C17 H25 N7 O4

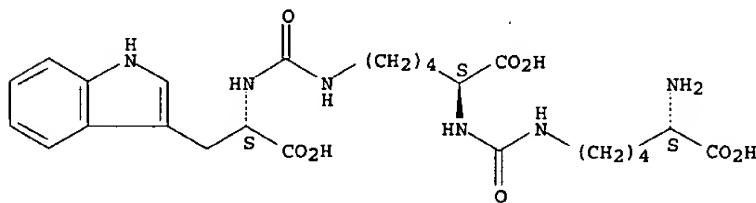
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 2,4,10,12-Tetraazaheptadecane-1,9,17-tricarboxylic acid,
17-amino-1-(1H-indol-3-ylmethyl)-3,11-dioxo-, [1S-(1R*,9R*,17R*)]- (9CI)
MF C25 H36 N6 O8

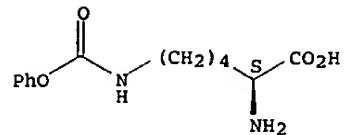
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN L-Lysine, N6-(phenoxy carbonyl)- (9CI)
MF C13 H18 N2 O4

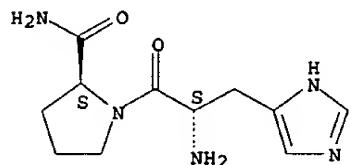
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN L-Prolinamide, L-histidyl-, dihydrobromide (9CI)
MF C11 H17 N5 O2 . 2 Br H

Absolute stereochemistry.



● 2 HBr

ALL ANSWERS HAVE BEEN SCANNED